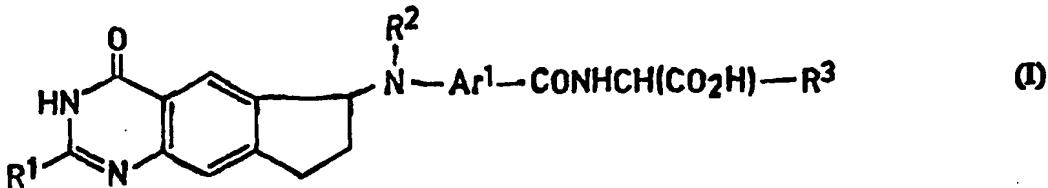




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 403/12, 239/70, A61K 31/505		A1	(11) International Publication Number: WO 95/30673 (43) International Publication Date: 16 November 1995 (16.11.95)
(21) International Application Number: PCT/GB95/01016			(81) Designated States: CA, JP, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).
(22) International Filing Date: 4 May 1995 (04.05.95)			
(30) Priority Data: 9408936.4 5 May 1994 (05.05.94)		GB	Published <i>With international search report.</i>
(71) Applicants (for all designated States except US): BRITISH TECHNOLOGY GROUP LIMITED [GB/GB]; 101 Newington Causeway, London SE1 6BU (GB). ZENECA LIMITED [GB/GB]; 15 Stanhope Gate, London W1Y 6LN (GB).			
(72) Inventors; and			
(75) Inventors/Applicants (for US only): BAVETSIAS, Vassilios [GR/GB]; 3 Chiddington Close, Sutton, Surrey SM2 6NS (GB). BOYLE, Francis, Thomas [GB/GB]; Hinstock Mount, Astbury Lane Ends, Cangleton, Cheshire CW12 3AY (GB). HENNEQUIN, Laurent, François, André [FR/FR]; "Le Collegium", Apartement 4A, 29c, boulevard Saint-Marceau, F-51100 Reims Cédex (FR). MARRIOTT, Jonathan, Hugh [GB/GB]; 247 Brighton Road, Sutton, Surrey SM2 5ST (GB).			
(74) Agent: STEPHENSON, Gerald, Frederick; Patents Dept., British Technology Group Limited, 101 Newington Causeway, London SE1 6BU (GB).			

(54) Title: ANTI-CANCER COMPOUNDS CONTAINING CYCLOPENTAQUINAZOLINE RING



(57) Abstract

Cyclopentaquinazoline of formula (I), wherein R¹ is hydrogen, amino, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ hydroxyalkyl or C₁₋₄ fluoroalkyl; wherein R² is hydrogen, C₁₋₄ alkyl, C₃₋₄ alkenyl, C₃₋₄ alkynyl, C₂₋₄ hydroxyalkyl, C₂₋₄ halogenoalkyl or C₁₋₄ cyanoalkyl; Ar¹ is phenylene, thiophenediyl, thiazolediyl, pyridinediyl or pyrimidinediyl which may optionally bear one or two substituents selected from halogeno, hydroxy, amino, nitro, cyano, trifluoromethyl, C₁₋₄ alkyl and C₁₋₄ alkoxy; and wherein R³ is a group of the formula: -A¹-A²-A²-Y¹, in which A¹ is a bond between the α -carbon atom of the group -CONHCH(CO₂H)- and Ar² or is a C₁₋₂ alkylene group; Ar² is phenylene, tetrazoldiyl, tiophenediyl, thiazolediyl, pyridinediyl or pyrimidinediyl which in the case of phenylene may optionally bear one or two substituents on the ring selected from halogeno, nitro, C₁₋₄ alkyl and C₁₋₄ alkoxy; A² is a C₁₋₃ alkylene or C₂₋₃ alkenylene group; and a pharmaceutically acceptable salt or ester thereof are of therapeutic value particularly in the treatment of cancer.

BEST AVAILABLE COPY